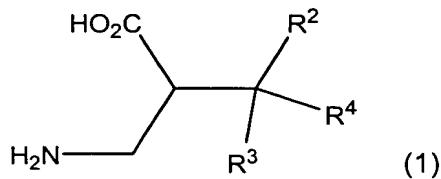
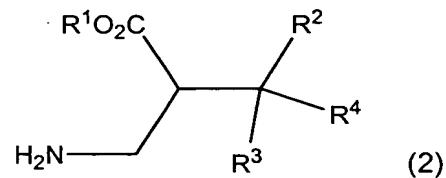


CLAIMS

1. Process for the preparation of an enantiomerically enriched  $\beta^2$ -amino acid of  
5 formula 1

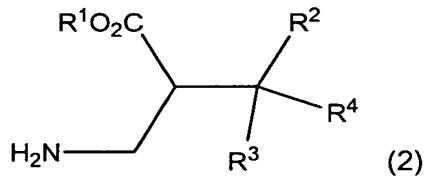


wherein R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> each independently stand for H, an optionally substituted (hetero)aryl, an optionally substituted alkyl, OR<sup>5</sup>, CO<sub>2</sub>R<sup>6</sup>, C(O)R<sup>7</sup>, SR<sup>8</sup>, NR<sup>9</sup>R<sup>10</sup>, OC(O)R<sup>11</sup> wherein R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup>, R<sup>10</sup> and R<sup>11</sup> each 10 independently stand for H, an optionally substituted alkyl or for an optionally substituted (hetero)aryl and wherein R<sup>2</sup> and R<sup>3</sup>, R<sup>2</sup> and R<sup>4</sup> or R<sup>3</sup> and R<sup>4</sup> may form a ring together with the carbon atom to which they are attached, comprising the steps of reacting a stereoselective hydrolytic enzyme with a mixture of enantiomers of a  $\beta^2$ -amino acid ester of formula 2



wherein R<sup>1</sup> stands for an optionally substituted alkyl and wherein R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are as defined above and collecting the resulting enantiomerically enriched  $\beta^2$ -amino acid of formula 1.

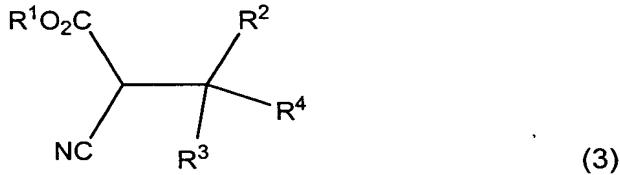
2. Process for the preparation of an enantiomerically enriched  $\beta^2$ -amino acid  
20 ester of formula 2



wherein R<sup>1</sup> stands for an optionally substituted alkyl and wherein R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> each independently stand for H, an optionally substituted (hetero)aryl, an optionally substituted alkyl, OR<sup>5</sup>, CO<sub>2</sub>R<sup>6</sup>, C(O)R<sup>7</sup>, SR<sup>8</sup>, NR<sup>9</sup>R<sup>10</sup>, OC(O)R<sup>11</sup> 25 wherein R<sup>5</sup>, R<sup>6</sup>, R<sup>7</sup>, R<sup>8</sup>, R<sup>9</sup> R<sup>10</sup> and R<sup>11</sup> each independently stand for H, an optionally substituted alkyl or for an optionally substituted (hetero)aryl and

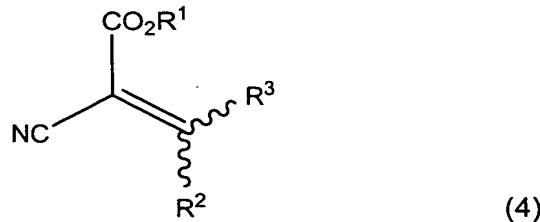
wherein R<sup>2</sup> and R<sup>3</sup>, R<sup>2</sup> and R<sup>4</sup> or R<sup>3</sup> and R<sup>4</sup> may form a ring together with the carbon atom to which they are attached, comprising the steps of reacting a stereoselective hydrolytic enzyme with a mixture of enantiomers of a  $\beta^2$ -amino acid ester of formula 2, wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are as defined above and collecting the remaining enantiomerically enriched  $\beta^2$ -amino acid ester of formula 2.

- 5 3. Process according to claim 1 or claim 2, wherein the stereoselective hydrolytic enzyme is an enzyme from the enzyme classification group EC 3.1.1, 3.4.21, 3.4.22 or 3.4.23.
- 10 4. Process according to any one of claims 1-3, wherein the stereoselective hydrolytic enzyme has an E-ratio > 5.
5. Process according to any one of claims 2-4, wherein the collected remaining enantiomerically enriched  $\beta^2$ -amino acid ester is further hydrolysed in a manner known per se.
- 15 6. Process according to any one of claims 1-5, wherein the  $\beta^2$ -amino acid ester of formula 2 is prepared by reduction of the corresponding nitrile of formula 3



wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are as defined above with a suitable reducing agent and optionally in the presence of a suitable catalyst.

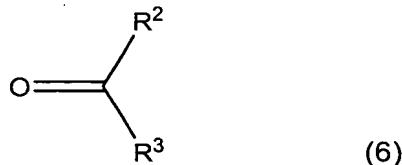
- 20 7. Process according to claim 6, wherein the nitrile of formula 3, wherein R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined above and wherein R<sup>4</sup> stands for H is prepared by reduction of the corresponding nitrile of formula 4,



- 25 8. Process according to any one of claims 1-5, wherein the  $\beta^2$ -amino acid ester of formula 2, wherein R<sup>4</sup> stands for H and R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined above

is prepared by reduction of the corresponding nitrile of formula 4, wherein R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined above with a suitable reducing agent and optionally in the presence of a suitable catalyst.

9. Process according to claim 6, wherein the nitrile of formula 3, wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are as defined in claim 6 is prepared from the corresponding nitrile of formula 4, wherein R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined above by introduction of R<sup>4</sup> via nucleophilic 1,4-addition using a suitable nucleophile.
- 5 10. Process according to any one of claims 7-9, wherein the nitrile of formula 4, wherein R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined above is prepared by condensation of a ketone or aldehyde of formula 6
- 10

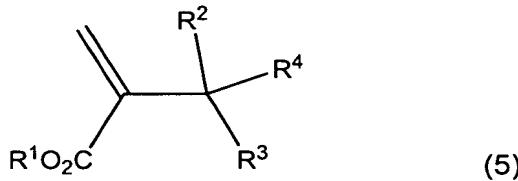


wherein R<sup>2</sup> and R<sup>3</sup> are as defined above and a nitrile of formula 7



15 wherein R<sup>1</sup> is as defined above, in the presence of a suitable base or a dehydrating reagent.

11. Process according to any one of claims 1-5, wherein the  $\beta^2$ -amino acid ester of formula 2, wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are as defined in anyone of claims 1-5 is prepared by reacting NH<sub>3</sub> or an NH<sub>3</sub>-analogue with the 2-substituted acrylic acid ester of formula 5
- 20



- 25 wherein R<sup>1</sup>, R<sup>2</sup>, R<sup>3</sup> and R<sup>4</sup> are as defined above.

12. Process according to any one of claims 1-11, wherein the enantiomerically enriched  $\beta^2$ -amino acid (ester) prepared according to a process of any one of claims 1-11 is further converted into a pharmaceutically active ingredient.

13. Process according to claim 12, wherein the pharmaceutically active ingredient is formulated into a pharmaceutical composition comprising the pharmaceutically active ingredient and an excipient.